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## 1. 🗹 12/9/1

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009832118
 WPI Acc No: 1994-111974/199414
 XRAM Acc No: C94-051598
   Prodn. of highly stereoselective beta-lactam deriv. - by
   reacting lithium enolate of organic ester with imine coordinated with
   trialkyl-aluminium in THF
 Patent Assignee: TOYO STAUFFER CHEM YG (STAU ); TOYO STAUFFER CHEM (STAU
 Number of Countries: 001 Number of Patents: 002
 Patent Family:
 Patent No
               Kind
                      Date
                              Applicat No
                                             Kind
                                                    Date
                                                             Week
 JP 6056770
               Α
                    19940301 JP 85219681
                                             Α
                                                  19851002
                                                            199414 B
                              JP 91125207
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√JP 95051558
                B2
                    19950605 JP 85219681
                                              Α
                                                  19851002
                                                            199527
                              JP 91125207
                                             Α
                                                  19851002
 Priority Applications (No Type Date): JP 85219681 A 19851002; JP 91125207 A
   19851002
 Patent Details:
 Patent No Kind Lan Pq
                          Main IPC
                                      Filing Notes
 JP 6056770
                      6 C07D-205/08
              Α
                                      Div ex application JP 85219681
 JP 95051558
               B2
                      6 C07D-205/08
                                      Div ex application JP 85219681
                                      Based on patent JP 6056770
 Abstract (Basic): JP 6056770 A
         Beta-lactam deriv. is obtd. by reaction of a lithium enolate of an
     organic ester with an organic imine cpd. An imine coordinated with a
     trialkylaluminium is used as the organic imine cpd. and the reaction is
     carried out in THF.
         ADVANTAGE - The prod. is prepd. with high selectivity.
         In an example, 12 mmol. of n-butyl lithium (15% hexane soln.) was
     added to 7 ml. of n-hexane soln. of 12 mmol. diisopropylamine while
     ice-cooling under N2 stream. The mixt. was stirred for 30 min. and then
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ice-cooling under N2 stream. The mixt. was stirred for 30 min. and then n-hexane was distilled off in vacuo. 5 ml. THF was added to the residue and the mixt. was cooled to (-) 78 deg.C. 10 mmol. Of ethyl isobutyrate was added in 3 minutes and then THF soln. of 10 mmol. C6H5CH=NC6H5 and THF soln. of 10 mmol. Aluminium tri-acetate were added. The mixt. was heated gradually to room temp. in 2 hrs. It was hydrolysed with 1N HCl and the hydrolysate was extracted by benzene. Benzene was distilled off

to give the beta-lactam. The yield was 75% and the cis-trans ratio was 100:0.

Dwg.0/0

Title Terms: PRODUCE; HIGH; STEREOSELECTIVE; BETA; LACTAM; DERIVATIVE; REACT; LITHIUM; ENOLATE; ORGANIC; ESTER; IMINE; COORDINATE; TRI; ALKYL; ALUMINIUM; THF

Index Terms/Additional Words: TETRA; HYDRO; FURAN

Derwent Class: B03

International Patent Class (Main): C07D-205/08

File Segment: CPI

Manual Codes (CPI/A-N): B07-D01 Chemical Fragment Codes (M2):

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